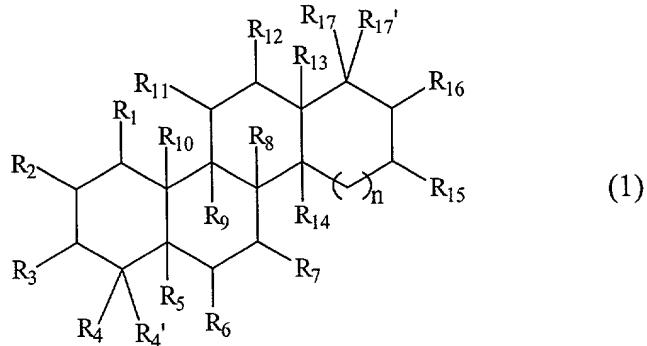


WHAT IS CLAIMED IS:

1 1. A compound of formula (1):



2 wherein

3 each of R₁, R₂, R₄, R_{4'}, R₇, R₁₁, R₁₂, R₁₅, R₁₆, R₁₇, and R_{17'}, independently, is
4 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or
5 alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO₂-, -O-SO₂-,
6 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
7 -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino,
8 carboxyl, sulfonic acid, or -O-sulfonic acid;

9 R₃ is X-Y-, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic
10 acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-, -
11 CO-, -CO-O-, -O-CO-, -CO- NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;
12 R₅ and R₆, together, are -O-; or R₅ and R₆, together, are a double bond between C-5
13 and C-6, and R₇ is oxo;

14 each of R₈, R₉, R₁₀, R₁₃, and R₁₄, independently, is hydrogen, alkyl, haloalkyl,
15 hydroxyalkyl, alkoxy, hydroxy, or amino; and

16 n is 0, 1, or 2.

17 2. The compound of claim 1, wherein X is hydrogen or amino, and Y is -O-SO₂-,
18 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
19 -N(alkyl)-CO-.

20 3. The compound of claim 1, wherein R₅ and R₆, together, are -O-.

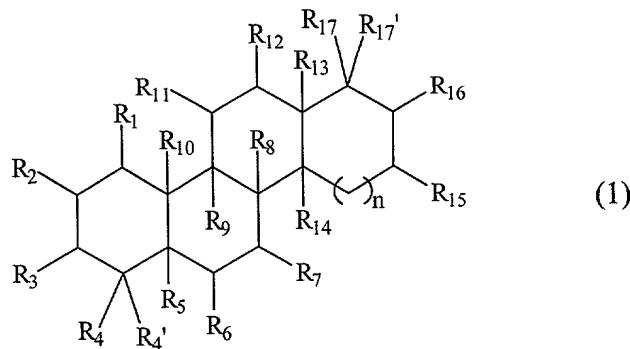
- 1 4. The compound of claim 3, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.
- 1 5. The compound of claim 4, wherein X is hydrogen, and Y is -SO₃.
- 1 6. The compound of claim 3, wherein -O- is on the α side of C-5 and C-6.
- 1 7. The compound of claim 6, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.
- 1 8. The compound of claim 7, wherein X is hydrogen, and Y is -SO₃.
- 1 9. The compound of claim 8, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆,
2 and R₁₇ are hydrogen; and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.
- 1 10. The compound of claim 9, wherein the compound is 5 α , 6 α -epoxycholesterol-3-
2 sulfate.
- 1 11. An antibody which is specifically against the compound of claim 10.
- 1 12. The compound of claim 1, wherein R₅ and R₆, together, are a double bond between
2 C-5 and C-6, and R₇ is oxo.
- 1 13. The compound of claim 12, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.
- 1 14. The compound of claim 13, wherein X is hydrogen, and Y is -SO₃-O-.

1 15. The compound of claim 14, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆,
2 and R₁₇ are hydrogen; and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.

1 16. The compound of claim 15, wherein the compound is 7-keto-cholesterol-3-sulfate.

1 17. An antibody which is specifically against the compound of claim 16.

1 18. A method of treating hypocholesterolemia, comprising administering to a subject in
2 need thereof an effective amount of a compound of formula (1):



3 wherein

4 each of R₁, R₂, R₄, R_{4'}, R₇, R₁₁, R₁₂, R₁₅, R₁₆, R₁₇, and R_{17'}, independently, is
5 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or
6 alkyl that is optionally inserted with -O-, -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-,
-SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
-N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino,
8 carboxyl, sulfonic acid, or -O-sulfonic acid;

9 R₃ is X-Y-, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic
10 acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-,
11 -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;

12 R₅ and R₆, together, are -O-; or R₅ and R₆, together, are a double bond between C-5
13 and C-6, and R₇ is oxo;

14 each of R₈, R₉, R₁₀, R₁₃, and R₁₄, independently, is hydrogen, alkyl, haloalkyl,
15 hydroxyalkyl, alkoxy, hydroxy, or amino; and

16 n is 0, 1, or 2.

1 19. The method of claim 18, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.

1 20. The method of claim 18, wherein R₅ and R₆, together, are -O-.

1 21. The method of claim 20, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.

1 22. The method of claim 21, wherein X is hydrogen, and Y is -SO₃-O-.

1 23. The method of claim 20, wherein -O- is on the α side of C-5 and C-6.

1 24. The method of claim 23, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO.

1 25. The method of claim 24, wherein X is hydrogen, and Y is -SO₃-O-.

1 26. The method of claim 25, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆,
2 and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.

1 27. The method of claim 26, wherein the compound is 5 α , 6 α -epoxycholesterol-3-sulfate.

1 28. The method of claim 18, wherein R₅ and R₆, together, are a double bond between C-5
2 and C-6, and R₇ is oxo.

1 29. The method of claim 28, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.

1 30. The method of claim 29, wherein X is hydrogen, and Y is -SO₃-O-.

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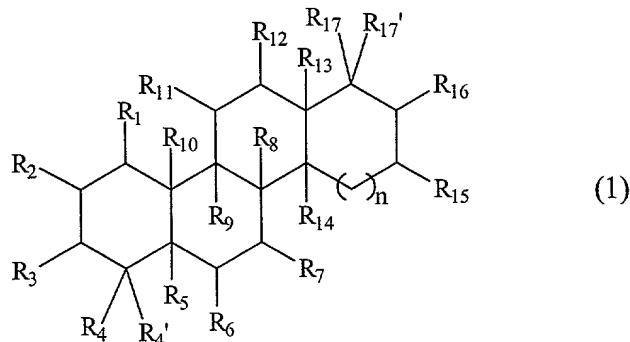
1 31. The method of claim 30, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆,
2 and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.

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1 32. The method of claim 31, wherein the compound is 7-keto-cholesterol-3-sulfate.

1

1 33. A pharmaceutical composition comprising a compound of formula (1):



2 wherein

3 each of R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₅, R₁₆, R₁₇, and R_{17'}, independently, is
4 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or
5 alkyl that is optionally inserted with -O-, -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-,
6 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
7 -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino,
8 carboxyl, sulfonic acid, or -O-sulfonic acid;

9 R₃ is X-Y-, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic
10 acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-,
11 -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;
12 R₅ and R₆, together, are -O-; or R₅ and R₆, together, are a double bond between C-5
13 and C-6, and R₇ is oxo;

14 each of R₈, R₉, R₁₀, R₁₃, and R₁₄, independently, is hydrogen, alkyl, haloalkyl,
15 hydroxyalkyl, alkoxy, hydroxy, or amino; and

16 n is 0, 1, or 2;

17 and a pharmaceutically acceptable carrier.

1 34. The composition of claim 33, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.

1 35. The composition of claim 33, wherein R₅ and R₆, together, are -O-.

1 36. The composition of claim 35, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.

1 37. The composition of claim 36, wherein X is hydrogen, and Y is -SO₃-O-.

1 38. The composition of claim 35, wherein -O- is on the α side of C-5 and C-6.

1 39. The composition of claim 38, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-. 40. The composition of claim 39, wherein X is hydrogen, and Y is
4 -SO₃-O-.

1 41. The composition of claim 40, wherein R₁, R₂, R₄, R₄, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅,
2 R₁₆, and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R₁₇, independently, is alkyl.

1 42. The composition of claim 41, wherein the compound is 5 α , 6 α -epoxycholesterol-3-
2 sulfate.

1 43. The composition of claim 33, wherein R₅ and R₆, together, are a double bond between
2 C-5 and C-6, and R₇ is oxo.

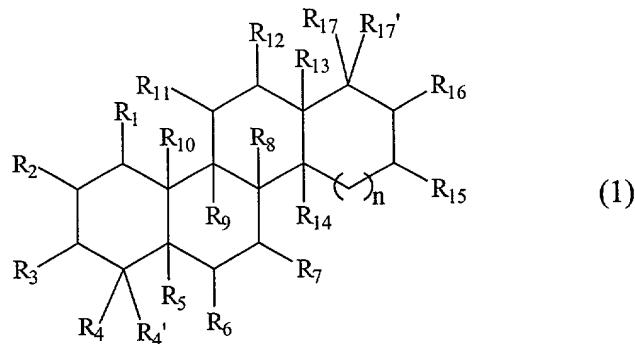
1 44. The composition of claim 33, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.

1 45. The composition of claim 44, wherein X is hydrogen, and Y is -SO₃-O-.

1 46. The composition of claim 45, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅,
2 R₁₆, and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.

1 47. The composition of claim 46, wherein the compound is 7-keto-cholesterol-3-sulfate.

1 48. A method of evaluating a compound for its agonistic effect on an liver X receptor,
2 comprising:
3 contacting the compound to be evaluated with the liver X receptor in the
4 presence of a compound of formula (1):



5 6 wherein

7 each of R₁, R₂, R₄, R_{4'}, R₇, R₁₁, R₁₂, R₁₅, R₁₆, R₁₇, and R_{17'}, independently, is
8 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or
9 alkyl that is optionally inserted with -O-, -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-,
10 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
11 -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino,
12 carboxyl, sulfonic acid, or -O-sulfonic acid;

13 R₃ is X-Y-, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic
14 acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-,
15 -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;
16 R₅ and R₆, together, are -O-; or R₅ and R₆, together, are a double bond between C-5
17 and C-6, and R₇ is oxo;

18 each of R₈, R₉, R₁₀, R₁₃, and R₁₄, independently, is hydrogen, alkyl, haloalkyl,
19 hydroxyalkyl, alkoxy, hydroxy, or amino; and
20 n is 0, 1, or 2; and assessing the agonistic effect of the compound to be evaluated on
21 the liver X receptor.

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49. The method of claim 48, wherein X is hydrogen or amino, and Y is -O-SO₂-,
-SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
-N(alkyl)-CO-.

1
50. The method of claim 48, wherein R₅ and R₆, together, are -O-.

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51. The method of claim 50, wherein X is hydrogen or amino, and Y is -O-SO₂-,
-SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
-N(alkyl)-CO-.

1
52. The method of claim 51, wherein X is hydrogen, and Y is -SO₃-O-.

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53. The method of claim 50, wherein -O- is on the α side of C-5 and C-6.

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54. The method of claim 51, wherein X is hydrogen or amino, and Y is -O-SO₂-,
-SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
-N(alkyl)-CO-.

1
55. The method of claim 54, wherein X is hydrogen, and Y is -SO₃-O-.

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56. The method of claim 55, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆,
2 and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.

1
57. The method of claim 56, wherein the compound is 5 α , 6 α -epoxycholesterol-3-sulfate.

1 58. The method of claim 48, wherein R₅ and R₆, together, are a double bond between C-5
2 and C-6, and R₇ is oxo.

1 59. The method of claim 48, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.

1 60. The method of claim 59, wherein X is hydrogen, and Y is -SO₃-O-.

1 61. The method of claim 60, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆,
2 and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.

1 62. The method of claim 61, wherein the compound is 7-keto-cholesterol-3-sulfate.